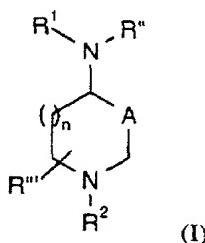


What is claimed is:

1. A compound according to formula (I):

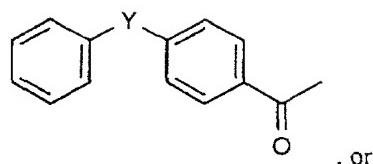
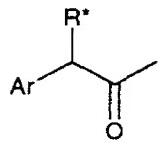
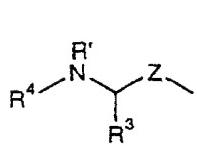


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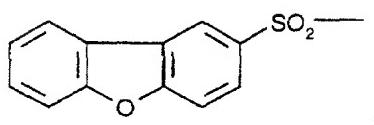
wherein:

A is C(O) or CH(OH);

R¹ is



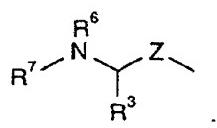
10



;

15

R² is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, adamantyl-C(O)-, or



;

R" is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

20

R'" is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

each R³ independently is H, C₂₋₆alkenyl, C₂₋₆alkynyl, Het, Ar or C₁₋₆alkyl

optionally substituted by OR', SR', NR'₂, R'NC(O)OR⁵, CO₂R', CO₂NR'₂, N(C=NH)NH₂,

Het or Ar;

R^4 is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, R'HNCH(R')C(O)-, or R⁵OC(O)NR'CH(R')C(O)-;

each R⁵ independently is C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl,

- 5 Ar-C₀₋₆alkoxy, Het-C₀₋₆alkoxy, or C₁₋₆alkyl optionally substituted by OR', SR', NR'₂, R'NC(O)OR⁵, CO₂R', CO₂NR'₂, N(C=NH)NH₂, Het or Ar;

10 R⁶ is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl and R⁷ is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-, R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, R'HNCH(R')C(O)-, or R⁵OC(O)NR'CH(R')C(O)-;

- 10 or R⁶ and R⁷ are connected to form a pyrrolidine, a piperidine, or a morpholine ring;

each R' independently is H, C₁₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

R* is H, C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl;

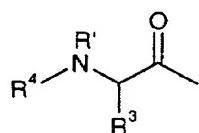
Y is a single bond or O;

each Z independently is CO or CH₂; and

- 15 n is 0, 1, or 2;

or a pharmaceutically acceptable salt thereof.

2. A compound according to claim 1 wherein R¹ is



20

3. A compound according to claim 2 wherein R⁴ is R⁵C(O)-, R⁵SO₂-, R⁵OC(O)-.

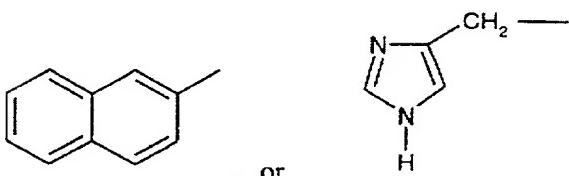
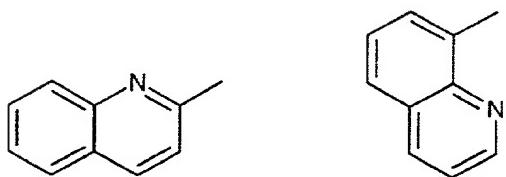
25

4. A compound according to claim 3 wherein R⁵ in said R¹ group is Ar-C₀₋₆alkyl or Het-C₀₋₆alkyl.

5. A compound according to claim 4 wherein R⁵ in said R¹ group is phenyl or benzyl which are unsubstituted or substituted by one or two of the group consisting of Cl, Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, or substituted by methylenedioxy; or



5

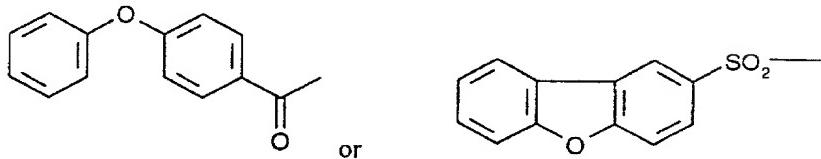


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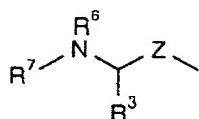
6. A compound according to claim 2 wherein, in said R¹ group, R' is H or CH₃ and R³ is i-butyl.

7. A compound according to claim 1 wherein R¹ is

15



8. A compound according to claim 1 wherein R² is

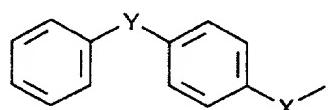


9. A compound according to claim 8 wherein R⁷ is R⁵OC(O)-.

5 10. A compound according to claim 9 wherein R⁵ in said R⁷ group is
Ar-C₀₋₆alkyl or Het-C₀₋₆alkyl.

10 11. A compound according to claim 10 wherein R⁵ in said R⁷ group is phenyl
or benzyl which are unsubstituted or substituted by one or two of the group consisting of
Cl, Br, F, CF₃, C₁₋₄alkyl, OH, C₁₋₄alkoxy, CN, CONH₂, NH₂, or NO₂, or substituted by
methyleneedioxy; or 2-, 3-, or 4-pyridyl-CH₂-.

12. A compound according to claim 1 wherein R² is



15 in which X is CO, SO₂, or CH₂-CO and Y is a
single bond or O.

20 13. A compound according to claim 8 wherein, in said R² group, R⁶ is H or
CH₃ and R³ is i-butyl.

25 14. A compound according to claim 1 wherein R² is Ar-C₀₋₆alkyl,
Het-C₀₋₆alkyl,C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, Het-C₀₋₆alkyl, R⁵C(O)-, R⁵C(S)-,
R⁵SO₂-, R⁵OC(O)-, R⁵R'NC(O)-, R⁵R'NC(S)-, R'HNCH(R')C(O)-,
R⁵OC(O)NR'CH(R')C(O)-, adamantyl-C(O)-.

25 15. A compound according to claim 1 wherein A is C(O).

16. A compound according to claim 1 which is:

30 (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(phenoxybenzamide)]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinol;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinol;
- 10 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxyoxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinol;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxyoxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(aminomethyl)pentanoyl]-3-pyrrolidinone;
- pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 20 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 25 [[(tert-butoxycarbonyl)amino]pentanoyl]-3-pyrrolidinol;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)amino]pentanoyl]-3-pyrrolidinol;
- 30 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinol;
- 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
- 35 [(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-3-tert-butoxy-[(benzyloxycarbonyl)amino]propanoyl]-3-pyrrolidinol;

- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-
[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-
[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;
- 5 (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-
[cyclohexanepropanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-
pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
10 [(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
15 [(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(2-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
20 [(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(3-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-
25 3-pyrrolidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-3-
pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
30 [(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-
35 (biphenyl)ethanoyl]-3-piperidinol;
4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenyl)ethanoyl]-3-
piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;

4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;

5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinol;

10 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinol;

15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;

20 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinol;

25 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-piperidinone;

30 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

35 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinol;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
[(benzyloxycarbonyl)methylamino]ethanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-
(phenoxy)ethanoyl]-3-pyrrolidinol;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-
pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
[(2-phenyl)ethanoyl]-3-pyrrolidinol;
- 10 4-[[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-
3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
pyrrolidinol;
- 15 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
(4-cyanobenzoyl)-3-pyrrolidinol;
- 20 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-
pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
tert-butoxycarbonyl-3-pyrrolidinol;
- 25 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
pyrrolidinone;
(3RS,4RS)-4-[[N^α-3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
tert-butoxycarbonyl-3-pyrrolidinol;
- 30 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
pyrrolidinone;
4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
35 methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
methyl-2-[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]aminomethyl]pentanoyl]-3-pyrrolidinol;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
5 (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentyl]-3-pyrrolidinone;
10 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-(adamantyl)carbonyl]-3-pyrrolidinol;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-(adamantyl)carbonyl]-3-pyrrolidinone;
15 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-pyrrolidinone;
20 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
25 (3RS,4RS)-4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinol;
4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
30 (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-N^ε-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-N^ε-(tert-butoxycarbonyl)-L-lysine]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]amino]pentanoyl]-3-piperidinone;
35 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;

- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-
- 10 3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinol;
- 15 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-
- 20 3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-propoxy)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-
- 30 1-propoxy)carbonyl]-3-pyrrolidinol;
- 4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-1-propoxy)carbonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-
- [(4-phenoxy)phenylsulphonyl]-3-pyrrolidinol;
- 35 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-

- [(4-phenoxy)phenylsulphonyl]-3-piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[
[(4-phenoxy)phenylsulphonyl]-3-piperidinone;
(3RS,4RS)-4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
5 [[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
10 4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
15 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinol;
20 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-
[[[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinol;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
25 (3RS,4RS)-4-[[N^α-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinol;
4-[[N^α-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
(3RS,4RS)-4-[[N^α-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
30 piperidinol;
4-[[N^α-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
(3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinol;
4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
35 piperidinone;
(3RS,4RS)-4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
piperidinone;

- (3RS,4RS)-4-[[N^a-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 4-[[N^a-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone
- (3RS,4RS)-4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-
- 5 3-piperidinol;
- 4-[[N^a-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-
- (4-methylpentyl)-3-piperidinol;
- 10 4-[[N^a-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 4-[[N^a-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-
- 15 methylpentyl)-3-piperidinol;
- 4-[[N^a-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinol;
- 20 4-[[N^a-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;
- (3RS,4RS)-4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinol;
- 4-[[2-(RS)-(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-
- 25 piperidinone;
- (3RS,4RS)-4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinol;
- 4-[[N^a-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;
- 30 (3RS,4RS)-4-[[N^a-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 4-[[N^a-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-
- 35 [3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

- (3RS,4RS)-4-[[N^α-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^α-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- 5 (3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;
- (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 10 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinol;
- 15 4-[3-[(2-pyridyl)phenylacetyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 20 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[(L-leucinyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 25 (3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 30 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 35 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^a-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

5 (3RS,4RS)-4-[[N^a-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-
methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^a-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
10 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^a-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-
15 [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^a-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-
[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
4-[[N^a-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
20 [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
25 (3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-
methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
(3RS,4RS)-4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-
30 methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
4-[[N^a-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
(3RS,4RS)-4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
35 4-[[N^a-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

(3RS,4RS)-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

5 (3RS,4RS)-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;
4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

(3RS,4RS)-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinol;

10 4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;

15 (3RS,4RS)-4-[[N^α-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinol;
4-[[N^α-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;

(3RS,4RS)-4-[[N^α-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-

20 piperidinol;
4-[[N^α-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;

(3RS,4RS)-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-

25 piperidinol;
4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;

(3RS,4RS)-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinol;

30 4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-piperidinone;

(3RS,4RS)-1-benzyl-4-[[N^α-(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-benzyl-4-[[N^α-(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-

35 pyrrolidinol;
1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;

- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-
- 5 pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-
- pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 10 (3RS,4RS)-1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-
- 15 3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-3-
- pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-
- pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-
- 20 pyrrolidinol;
- 1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 25 1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-
- pyrrolidinol;
- 1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzyloxycarbonyl-3-
- 30 pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-
- [(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
- [(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-
- [(2S)-4-methyl-2-[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;

5 (3RS,4RS)-4-[[N^α-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-

10 methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-

15 (2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-

20 [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-1-benzyl-4-[[N^α-(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-

25 pyrrolidinol;

1-benzyl-4-[[N^α-(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-

[(2S)-4-methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-

30 methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-

[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-

[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-

[(acetyl)amino]pentyl]-3-pyrrolidinol;

4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-

[(acetyl)amino]pentyl]-3-pyrrolidinone;

(3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-

35 toluenesulphonyl)]amino]pentyl]-3-pyrrolidinol;

- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 5 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 10 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 15 1-(2-phenethyl)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 15 1-(2-phenethyl)-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 20 1-(2-phenethyl)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 20 1-(2-phenethyl)-4-[[N^α-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-phenethyl)-4-[[N^α-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 25 1-(2-phenethyl)-4-[[N^α-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinol;
- 30 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinol;
- 35 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinol;

- 4-[N^{α} -(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[(4-phenoxybenzoyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentanoyl]-3-pyrrolidinolycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 5 1-(3-nitrobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-(2-nitrobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 10 1-(2-nitrobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-(4-cyanobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 15 1-(4-cyanobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-(4-bromobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 20 1-(4-bromobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-phenethyl-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 25 1-phenethyl-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- 1-(3-aminobenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-(3-benzyloxybenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 30 1-(3-benzyloxybenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-(3-hydroxybenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 35 1-(3-hydroxybenzyl)-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-ethyl-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinol;
- 1-ethyl-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-pyrrolidinone;
- (3RS,4RS)-1-cyclopropylmethyl-4-[$[N^{\alpha}-(4\text{-pyridinylmethoxycarbonyl})\text{-L}\text{-leucinyl}]amino]$ -3-

- leucinyl]amino]-3-pyrrolidinol;
- 1-cyclopropylmethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-N,N-dimethylaminoethyl)-4-[[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinol;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-[(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-[(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-[(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-[(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-[(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-[(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[[benzyloxycarbonyl]]amino]pentyl]-3-pyrrolidinone;

- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 5 1-benzyl-4-[[[N^α-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 10 1-benzyl-4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
- 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone
- 15 (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinol;
- 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinone
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinol;
- 20 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinone;
- (3RS,4RS)-1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinol;
- 1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinone;
- (3RS,4RS)-4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-
- [2S]-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinol;
- 25 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
- [2S]-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinol;
- 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
- 30 [(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- [2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- 35 [2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;
- (3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;

- (3RS,4RS)-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
- 5 [2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinol;
4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(*tert*-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinol;
- 10 4-[[N^α-(*tert*-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-
- 15 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-3-
- 20 pyrrolidinone;
(3RS,4RS)-1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-3-pyrrolidinol;
- 25 1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-3-pyrrolidinone;
(3RS,4RS)-4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinol;
4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-
- 30 methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
(3RS,4RS)-1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinol;
1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 35 (3RS,4RS)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;
4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
(3RS,4RS)-4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-
- 40 piperidinol;
4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
(3RS,4RS)-4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinol;

- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
(3RS,4RS)-4-[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-
(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-
3-piperidinol;
- 5 4-[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-
(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-
3-piperidinone;
(3RS,4RS)-4-[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinol;
4-[[3-(2-pyridyl)phenylacetyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
- 10 piperidinone;
(3RS,4RS)-4-[[N^α-(p-trifluoromethanephensulphonyl)-
L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinol;
4-[[N^α-(p-trifluoromethanephensulphonyl)-
L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
- 15 (3RS,4RS)-4-[[N^α-(2-naphthylsulphonyl)-
L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinol;
4-[[N^α-(2-naphthylsulphonyl)-
L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
(3RS,4RS)-4-[[N^α-(3,4-dichlorophenylsulphonyl)-
20 L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinol;
4-[[N^α-(3,4-dichlorophenylsulphonyl)-
L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
(3RS,4RS)-4-[[N^α-(methanesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-
- 25 piperidinol;
4-[[N^α-(methanesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;
(3RS,4RS)-4-[[N^α-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-
pyridyl)phenylacetyl])-3-piperidinol; or
4-[[N^α-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-
- 30 piperidinone;
or a pharmaceutically acceptable salt thereof.

17. A compound according to claim 1 which is:

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
35 [[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(phenoxybenzamide)]-3-
pyrrolidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenylethanoyl)]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((tert-butoxyoxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
- 10 pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((N-tert-butoxycarbonyl)ethanoyl]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 15 [(ethanoyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[[((tert-butoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 20 4-[[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-[(benzyloxycarbonyl)amino]ethanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-2-[(benzyloxycarbonyl)]amino]propanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[cyclohexanepropanoyl]-3-
- 25 pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(2-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 30 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(3-pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylcarbonyl)-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
- 35 [(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[4-(biphenyl)ethanoyl]-3-piperidinone;

- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-piperidinone;
- 5 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonyl)]isobutylamino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(tert-butoxycarbonyl)amino]ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(amino)ethanoyl]-3-piperidinone;
- 10 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(benzoyl)-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(acetyl)-3-piperidinone;
- 15 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(2-pyridoxyacetyl)-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonylmethylamino)ethanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-
- 20 piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-[(benzyloxycarbonylmethylamino)ethanoyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[2-(phenoxy)ethanoyl]-3-
- pyrrolidinone;
- 25 4-[[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2-phenyl)ethanoyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-ethanoyl-3-
- pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-cyanobenzoyl)-3-
- 30 pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
- pyrrolidinone;
- 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
- pyrrolidinone;
- 35 4-[[N^α-(3-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;

- 4-[[N^α-idinylmethoxycarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-
pyridinylmethoxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;
- 5 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]aminomethyl]pentanoyl]-3-pyrrolidinone;
- 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[1-
10 (adamantyl)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methyl-pentanoyl)-3-
pyrrolidinone;
- 4-[[N^α-(benzyloxycarbonyl)-D-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 15 4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone
- 4-[[N^α-(benzyloxycarbonyl)-N^ε-(tert-butoxycarbonyl)-L-lysine]amino]-1-
[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-tert-butoxycarbonyl-3-
20 piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentanoyl)-3-
piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[2-
(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-3-piperidinone;
- 25 4-[[N-2-(benzyloxycarbonyl)]iso-butylamino]ethanoyl]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(methanesulphonyl)-3-
piperidinone;
- 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(phenylsulphonyl)-3-
30 piperidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(8-quinolinesulphonyl)-
3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(2-pyridylsulphonyl)-3-
pyrrolidinone;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-
[(2-propoxy)carbonyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(3-methyl-1-

propoxy)carbonyl]-3-pyrrolidinone;

4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(4-phenoxy)phenylsulphonyl]-3-pyrrolidinone;

4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-

5 [(4-phenoxy)phenylsulphonyl]-3-piperidinone;

4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

10 4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-pyrrolidinone;

4-[(2-dibenzofuransulphonyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]methylamino]pentanoyl]-3-pyrrolidinone;

4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(3-chlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-

20 piperidinone;

4-[[N^α-(8-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone

4-[[N^α-(3-isouquinolinicarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-

25 piperidinone;

4-[[N^α-(acetyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(p-trifluoromethylbenzenesulphonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(6-quinolinecarbonyl)-L-leucinyl]amino]-1-(4-methylpentyl)-3-

30 piperidinone;

4-[[2-(RS)-[(3-biphenyl)-4-methyl]amino]pentanoyl]-1-(4-methylpentyl)-3-piperidinone;

4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-

[2-[(benzyloxycarbonyl)methylamino]ethyl]-3-piperidinone;

35 4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl]-3-piperidinone;

- 4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
- 4-[[N^α-(benzensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
- 5 4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl)]-3-piperidinone;
- 4-[3-[(2-pyridyl)phenylacetyl]]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 4-[3-[(2-pyridyl)phenylacetyl]]amino]-1-[(2S)-4-methyl-2-[(2-pyridyl)methoxycarbonyl)]amino]pentanoyl]-3-piperidinone;
- 10 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(tert-butoxyoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 15 4-[[N^α-(L-leucinyl)amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-pyridylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 25 4-[[N^α-(2-nitro-a-toluenesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 30 4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
- 35 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;

- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
4-[[N^α-(phenylacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
5 4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
10 4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-piperidinone;
4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
15 4-[[N^α-(8-quinolinesulphonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
4-[[N^α-(4-pyridinylacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
20 piperidinone;
4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-1-(benzyloxycarbonyl)-3-
piperidinone;
1-benzyl-4-[[N^α-(3-isoquinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(3,4-dichlorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]aminomethyl]-3-pyrrolidinone;
25 1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(6-hydroxy-2-naphthylcarbonyl)-L-leucinyl]amino]-3-
pyrrolidinone;
30 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(6-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(4-imidazoleacetyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(4-pyridinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzyloxycarbonyl-3-
35 pyrrolidinone;
4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2R)-4-methyl-2-
[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(tert-butoxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-(amino)pentyl]-3-pyrrolidinone;
- 5 4-[[N^α-(2-methylpropoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(methylamino)thiocarbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 10 4-[[N^α-(phenylmethylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(3,4-dichlorophenylamino)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 15 1-benzyl-4-[[N^α-(3,4-dichlorophenylamino)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[[N^α-(1,2,3,4-tetrahydro-6-quinolinecarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(acetyl)amino]pentyl]-3-pyrrolidinone;
- 20 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(methanesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(a-toluenesulphonyl)amino]pentyl]-3-pyrrolidinone;
- 25 1-(2-phenethyl)-4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-phenethyl)-4-[[N^α-(α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 30 1-(2-phenethyl)-4-[[N^α-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-pyridinylcarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(p-toluenesulphonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 4-[[N^α-(2-phenylacetyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(4-imidazoleacetyl)]amino]pentyl]-3-pyrrolidinone;

- 1-(3-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-nitrobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 5 1-(4-cyanobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(4-bromobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 10 1-phenethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(3-aminobenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 15 1-(3-benzyloxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-3-hydroxybenzyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-ethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-cyclopropylmethyl-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 20 1-(2-N,N-dimethylaminoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-morpholinoethyl)-4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 1-(2-bromobenzyl)-4-[[N^α-(2-pyridinylmethoxycarbonyl)-L-leucinyl]amino]-3-pyrrolidinone;
- 25 4-[[N^α-(4-pyridinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxy)carbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]aminomethyl]pentyl]-3-pyrrolidinone;
- 30 4-[[N^α-[(2-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-[(3-pyridinylmethoxy)carbonyl]-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 35 4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]amino]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;
- 4-[[N^α-(4-pyridinylmethoxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-[(benzyloxycarbonyl)]amino]pentyl]-3-pyrrolidinone;

- 1-benzyl-4-[[[N^α-(2-nitro- α -toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(phenylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(a-toluenesulphonyl)-L-leucinyl]amino]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-pyrrolidinone
5 1-benzyl-4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-3-piperidinone
1-benzyl-4-[[N^α-(2-quinolinylcarbonyl)-L-leucinyl]amino]-3-piperidinone;
1-benzyl-4-[[N^α-(2-naphthylsulphonyl)-L-leucinyl]amino]-3-piperidinone;
4-[[N^α-(benzyloxycarbonyl)-L-leucinyl]aminomethyl]-1-[(2S)-4-methyl-2-
[(benzyloxycarbonyl)aminomethyl]pentanoyl]-3-pyrrolidinone;
10 4-[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentyl]-1-
[(2S)-4-methyl-2-[(benzyloxycarbonyl)amino]pentanoyl]-
3-pyrrolidinone;
4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
[2-[(α -toluenesulphonyl)amino]ethyl]-3-pyrrolidinone;
15 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
4-[[N^α-(piperonylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-
[2-[(4-fluorobenzoyl)amino]ethyl]-3-pyrrolidinone;
4-[[N^α-(tert-butoxycarbonyl)-L-leucinyl]amino]-1-benzoyl-3-pyrrolidinone;
20 4-[[N^α-(4-fluorobenzoyl)-L-leucinyl]amino]-1-[(2S)-4-
methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-1-[(2S)-4-
methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
1-benzyl-4-[[N^α-(4-carboxybenzoyl)-L-leucinyl]amino]-3-
25 pyrrolidinone;
1-benzyl-4-[[N^α-(4-carboxymethyl)benzoyl)-L-leucinyl]amino]-3-pyrrolidinone;
4-[[N^α-(4-carboxymethyl)benzoyl]-L-leucinyl]amino]-1-[(2S)-4-
methyl-2-[(4-fluorobenzoyl)amino]pentyl]-3-pyrrolidinone;
1-phenethyl-4-[[N^α-(2-amino- α -toluenesulphonyl)-L-leucinyl]amino]-3-
30 pyrrolidinone;
4-[[N^α-(2-naphthylcarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
4-[[N^α-(2-quinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
4-[[N^α-(3-isoquinolinecarbonyl)-L-leucinyl]amino]-1-benzoyl-3-piperidinone;
4-[(2S)-4-methyl-2-(benzyl)oxy]pentanoyl]-1-[(2S)-4-methyl-2-
35 [[(benzyloxycarbonyl)]amino]pentanoyl]-3-piperidinone;
4-[[3-(2-pyridyl)phenylacetyl]]amino]-1-[3-(2-pyridyl)phenylacetyl]]-3-
piperidinone;

4-[[N^a-(p-trifluoromethanephensulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;

4-[[N^a-(2-naphthylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;

5 4-[[N^a-(3,4-dichlorophenylsulphonyl)-

L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;

4-[[N^a-(methanesulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-piperidinone;

or

4-[[N^a-(4-fluorophenylsulphonyl)-L-leucinyl]amino]-1-[3-(2-pyridyl)phenylacetyl])-3-

10 piperidinone;

or a pharmaceutically acceptable salt thereof.

18. A pharmaceutical composition comprising a compound according to any one of claims 1 to 17 and a pharmaceutically acceptable carrier.

15

19. A method of inhibiting a cysteine protease which comprises administering a compound according to claim 1.

20 20. A method according to claim 19 wherein the cysteine protease is cathepsin

K.

21. A method of inhibiting bone loss which comprises administering a compound according to claim 1.

25

22. A method of treating osteoporosis which comprises administering a compound according to claim 1.

23. A method of treating gingival or periodontal disease which comprises administering a compound according to claim 1.

30

24. A method of treating a disease characterized by excessive cartilage or matrix degradation which comprises administering a compound according to claim 1.

35

25. A method according to claim 24 wherein said disease is osteoarthritis or rheumatoid arthritis.

26. A compound according to any one of claims 1 to 17 for use as a medicament.

27. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases in which inhibition of a cysteine protease is a factor.

28. The use of a compound according to claim 27 wherein the cysteine protease is cathepsin K.

10

29. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the inhibition of bone loss.

15

30. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of osteoporosis.

31. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of gingival or periodontal disease.

20

32. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases characterized by excessive cartilage or matrix degradation.

25

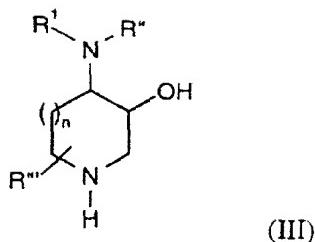
33. The use of a compound according to claim 32 wherein the disease characterized by excessive cartilage or matrix degradation is osteoarthritis or rheumatoid arthritis.

34. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises:

30

(A) for compounds in which A is CH(OH):

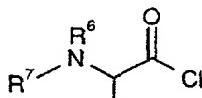
(i) reacting a compound of the formula (III):



or a salt thereof,

wherein R¹, R'', R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:

- 5 (a) R⁵C(O)Cl, in which R⁵ is as defined in formula (I) of claim 1; or
- (b) R⁵C(O)OH, in which R⁵ is as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or
- 10 (c) R⁵C(O)H, in which R⁵ is as defined in formula (I) of claim 1, followed by reduction; or
- (d) R⁵OC(O)Cl, in which R⁵ is as defined in formula (I) of claim 1, in the presence of base; or
- (e) R⁵SO₂Cl, in which R⁵ is as defined in formula (I) of claim 1, in the presence of base; or

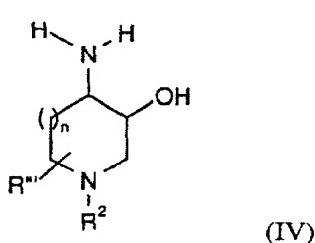


15 (f) wherein R³, R⁶ and R⁷ are as

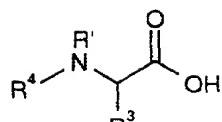
defined in formula (I) of claim 1; or

- (g) adamantyl-C(O)Cl;

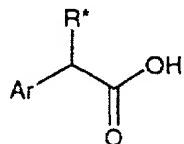
- 20 (ii) reacting a compound of the formula (IV):



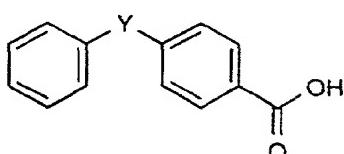
wherein R², R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with:



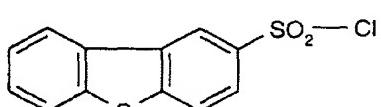
(a) R^3 , in which R^3 , R^4 and R' are as defined in formula (I) of claim 1, in the presence of EDC and HOBT; or



5 of claim 1, in the presence of EDC and HOBT; or



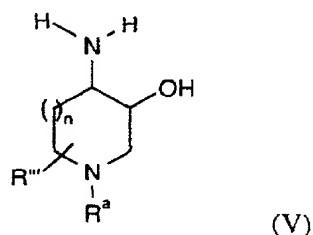
in formula (I) of claim 1, in the presence of EDC and HOBT; or



10

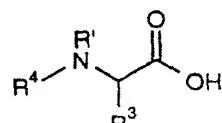
(d)

(iii) reacting a compound of the formula (V):

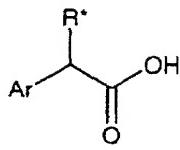


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wherein R^m and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, and R^a is C₁₋₆alkyl, C₃₋₆cycloalkyl-C₀₋₆alkyl, Ar-C₀₋₆alkyl, or Het-C₀₋₆alkyl with:

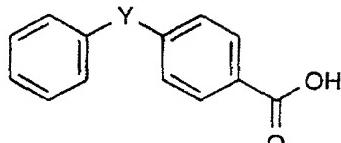


20 in formula (I) of claim 1, in the presence of EDC and HOBT; or



, in which R^* is as defined in formula (I)

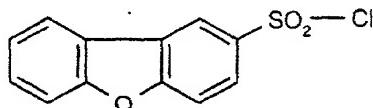
of claim 1, in the presence of EDC and HOBT; or



, in which Y is as defined

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in formula (I) of claim 1, in the presence of EDC and HOBT; or

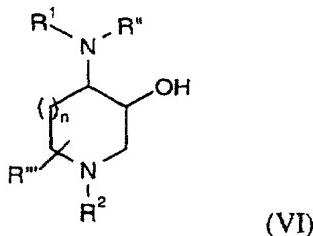


;

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(B) for compounds in which A is C(O):

(i) reacting a compound of the formula (VI):



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wherein R^1 , R^2 , R'' , R''' and n are as defined in formula (I) of claim 1, with any reactive functional groups protected, with an oxidizing agent;

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and thereafter removing any protecting groups and optionally forming a pharmaceutically acceptable salt.